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CLAIMS

1. A compound of the following formula (I) or its pharmaceutically-acceptable salt:

$$X_1 O W$$
 $X_3 X_2$
(I)

[wherein X^1 , X^2 and X^3 each independently represent N or CH (provided that all of X^1 , X^2 and X^3 are not CH at the same time); W represents a group of the following formula (II):

(wherein m indicates an integer of from 0 to 3; R represents a linear or branched lower alkyl group (excepting a methyl group), a cycloalkyl group having from 3 to 9 carbon atoms, an aralkyl group or a heterocyclic group having from 3 to 8 carbon atoms (the hetero ring has 1 or 2 nitrogen atoms or oxygen atoms), which may be substituted with a group selected from a class consisting of a cyano group, a hydroxyl group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxyl group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylaminocarbonyl group, a di-lower alkylcarbamoyl group, a carbamoyl group, a cycloalkyliminocarbonyl group and a trifluoromethyl group), or represents a group of a formula (III):

(wherein m¹ indicates an integer of from 0 to 3; n indicates an integer of from 0 to 2); Y represents a group of a formula (IV):

$$\frac{--(O)_{j}}{L_{l}}\frac{\binom{O}{c}}{c}\frac{\left(M\right)}{k}\left(M\right)}{l}Q_{1} \quad (IV)$$

(wherein j, k and l each independently indicate 0 or 1; L_1 represents a lower alkylene group having from 1 to 4 carbon atoms, or a single bond; M represents an oxygen atom or a group of a formula (V):

(wherein R⁰ represents a lower alkyl group having from 1 to 4 carbon atoms); Q₁ represents a linear or branched lower alkyl group, a cycloalkyl group having from 3 to 9 carbon atoms, a phenyl group, a 5-membered or 6-membered heteroaryl group, a heterocyclic group having from 3 to 8 carbon atoms (the

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hetero ring has 1 or 2 nitrogen atoms or oxygen atoms), a naphthyl group or a condensed-cyclic heteroaryl group, which may be substituted with a group selected from a class consisting of a cyano group, a hydroxy group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a lower alkylsulfonyl group, a cyclo-lower alkylsulfonyl group, a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylcarbamoyl group, a cycloalkyliminocarbamoyl group, a lactam ring, a trifluoromethyl group, a mono-lower alkylamino group, a di-lower alkylamino group, an alkanoyl group, an alkoxycarbonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), or represents a group of a formula (V-1):

$$--$$
N $^{R^1}$ (V-1)

(wherein R¹ and R² are the same or different, each representing a lower alkyl group or a mono- or di-lower alkylcarbamoyl group, or R¹ and R² together form, along with the adjacent nitrogen atom, a 3- to 9-membered lactam ring, a heterocyclic group having from 3 to 8 carbon atoms (the group has 1 or 2 nitrogen atoms or oxygen atoms), a 5-membered heteroaryl group, or a condensed-cyclic heteroaryl group)].

2. The compound as claimed in claim 1, wherein R in formula (II) is a cycloalkyl group having from 3 to 9 carbon atoms or a heterocyclic group having from 3 to 8 carbon atoms (the hetero ring has 1 or 2 nitrogen atoms or oxygen atoms), which may be substituted with a group selected from a class consisting of a cyano group, a hydroxy group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group, a mono-lower alkylaminocarbonyloxy group and a di-lower alkylaminocarbonyloxy group, or a represents a group of a formula (III):

[wherein m₁ indicates an integer of from 0 to 3; and n indicates an integer of from 0 to 2].

2. The compound as claimed in claim 1 or 2, wherein the group of formula (IV-1):

$$-(O)_{j} L_{l} - (O)_{k} (M)_{l}$$

$$(IV-1)$$

(wherein the symbols have the same meanings as above) in formula (IV):

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$$--(O)_{j} L_{1} - \left(\begin{matrix} O \\ \parallel \\ C \end{matrix} \right)_{k} \left(M \right)_{1} Q_{1} \quad (IV)$$

[wherein j, k and l each independently indicate 0 or 1; L_1 represents a lower alkylene group having from 1 to 4 carbon atoms, or a single bond; M represents an oxygen atom, or a group of a formula (V):

- (wherein R⁰ represents a lower alkyl group having from 1 to 4 carbon atoms); Q₁ represents a linear or branched lower alkyl group, a cycloalkyl group having from 3 to 9 carbon atoms, a phenyl group, a 5-membered or 6-membered heteroaryl group, a heterocyclic group having from 3 to 8 carbon atoms (the hetero ring has 1 or 2 nitrogen atoms or oxygen atoms), a naphthyl group or a condensed-cyclic heteroaryl group, which may be substituted with a group selected from a class consisting of a cyano group, a hydroxy group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a lower alkylsulfonyl group, a cyclo-lower alkylsulfonyl group, a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyl group, a cycloalkyliminocarbamoyl group, a lactam ring, a trifluoromethyl group, a mono-lower alkylamino group, a di-lower alkylamino group, an alkanoyl group, an alkoxycarbonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), an alkanoylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group)] is a C_{1-4} lower alkylene group, a carbonyl group, -C(O)-O-, a -C₁₋₄ lower alkylene-C(O)-, a -C₁₋₄ lower alkylene-C(O)-O-, a -C₁₋₄ lower alkylene-C(O)-N(R⁰)-, $-C(O)-N(R^0)0-$, $-O-C_{1-4}$ lower alkylene-, or a single bond.
- 4. The compound as claimed in claim 3, wherein Q₁ is a linear or branched lower alkyl group, a cycloalkyl group having from 3 to 9 carbon atoms, a phenyl group or a naphthyl group, which may be substituted with a group selected from a class consisting of a cyano group, a hydroxy group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a lower alkylsulfonyl group, a cyclo-lower alkylsulfonyl group, a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylcarbamoyl group, a carbamoyl group, a cycloalkyliminocarbamoyl group, a lactam ring, a trifluoromethyl group, a mono-lower alkylamino group, a di-lower alkylamino group, an alkoxycarbonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), an alkanoylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), or represents a 5- or 6-membered heteroaryl group having from 1 to 3 hetero atoms selected from a group consisting of an oxygen atom, a sulfur atom and a nitrogen atom, a heterocyclic group having from 3 to 8 carbon atoms and having from 1 to 3 nitrogen atoms or oxygen atoms in the

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ring, or a mono- to tri-cyclic condensed-cyclic heteroaryl group optionally having from 1 to 3 hetero atoms selected from a group consisting of an oxygen atom, a sulfur atom and a nitrogen atom in each ring.

5. The compound as claimed in claim 3, wherein Q_1 of formula (V-1) is a group of a formula (V-10):

$$- N$$
 R^{10} (V-10)

[wherein R¹⁰ and R²⁰ together form, along with the adjacent nitrogen atom, a 3- to 9-membered lactam ring, a heterocyclic ring having from 3 to 8 carbon atoms (R¹⁰ and R²⁰ may have, apart from the adjacent nitrogen atom, 1 or 2 nitrogen atoms or oxygen atoms in the ring as the constitutive atoms of the hetero ring), a 5-membered heteroaryl group having from 1 to 4 nitrogen atoms in the ring, or a bicyclic condensed-cyclic heteroaryl group having from 1 to 3 nitrogen atoms or oxygen atoms in each ring].

- 6. The compound as claimed in claim 1, wherein -Y in formula (I) is a phenyl group, a pyridyl group, a pyridazinyl group or a pyrimidinyl group, which may be substituted with a group selected from a class consisting of a hydroxyl group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a lower alkylsulfonyl group, a cyclo-lower alkylsulfonyl group, a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylcarbamoyl group, a di-lower alkylamino group, a cycloalkyliminocarbamoyl group, a lactam ring, a mono-lower alkylamino group, a di-lower alkylamino group, an alkanoyl group, an alkoxycarbonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), an alkanoylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group).
- 7. The compound as claimed in claim 1, wherein -Y in formula (I) is a bi- or tri-cyclic condensed ring having at least one phenyl group or pyridyl group in the ring, which may have therein 1 or 2 substituents selected from a class consisting of a hydroxyl group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a lower alkylsulfonyl group, a cyclo-lower alkylsulfonyl group, a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylcarbamoyl group, a di-lower alkylamino group, a di-lower alkylamino group, an alkanoyl group, an alkoxycarbonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group).
- 8. The compound as claimed in claim 1, wherein -Y in formula (I) is a furyl group, a thienyl group, a pyrrolyl group, an imidazolyl group, a pyrazolyl group, a thiadiazolyl group, a thiadiazolyl group,

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an isothiazolyl group, an oxazolyl group, an isoxazolyl group, a pyridyl group, a pyridazinyl group, a pyrimidinyl group or a pyrazinyl group, which may have in the ring thereof, 1 or 2 substituents selected from a class consisting of a hydroxyl group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkylsulfonyl group, a cyclo-lower alkylsulfonyl group, a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a di-lower alkylamino group, an alkanoyl group, an alkoxycarbonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group).

- 9. The compound as claimed in claim 1, wherein -Y in formula (I) is an oxetanyl group, a tetrahydrofuranyl group, a tetrahydropyranyl group, a pyrrolidinyl group, a piperidinyl group, a homopiperidinyl group, a morpholinyl group or a homomorpholinyl group, which may have in the ring thereof, 1 or 2 substituents selected from a class consisting of a hydroxyl group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a cycloalkyliminocarbamoyl group, an alkoxycarbonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), an alkanoylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group).
- 10. The compound as claimed in claim 1, wherein -Y in formula (I) is a group of a formula (IV-2):

(wherein p indicates an integer of from 1 to 3; q indicates an integer of from 1 to 4).

11. The compound as claimed in any of claims 1 to 10, wherein at least one of X^1 and X^2 in the group of formula (I-1):

[wherein X^1 , X^2 and X^3 each independently represent N or CH (provided that all of X^1 , X^2 and X^3 are not CH at the same time)] is a nitrogen atom, or both X^2 and X^3 therein are nitrogen atoms.

12. The compound of formula (I) as claimed in any of claims 1 to 11, which includes

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2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrimidine,
      2-(1-isopropylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrimidine,
      2-(1-(cyclopentylpyrrolidin-3-yloxy)-5-(4-carbamoylphenyl)pyrimidine,
      2-(1-cyclopentylpyrrolidin-3-yloxy)-5-(4-cyanophenyl)pyrimidine,
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      2-(1-cyclopentylpiperidin-4-yloxy)-5-{(3-methyl-1,2,4-oxadiazol-5-yl)phenyl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrimidine,
      2-(1-(cyclobutylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrimidine,
      2-(1-cyclohexylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrimidine,
      2-(1-cyclopropylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrimidine,
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      2-(1-ethylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(pyrrolidin-1-ylcarbonyl)phenyl}piperidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(dimethylcarbamoyl)phenyl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(morpholin-4-ylcarbonyl)phenyl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(phenoxy)phenyl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(3-quinolinyl)pyrimidine,
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     · 2-(1-cyclopentylpiperidin-4-yloxy)-5-(5-indolyl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1H-pyridin-2-on-1-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(piperidin-2-on-1-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(8-quinolinyl)pyrimidine,
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      2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-phenyl-4-hydroxypiperidin-1-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-methoxypyridin-5-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-chlorophenyl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-trifluoromethylphenyl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(pyridin-3-yl)pyrimidine,
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      2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-methoxyphenyl)pyrimidine.
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(dibenzofuran-4-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-cyclopentyloxypyridin-5-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1H-pyridin-2-on-5-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1-cyclopentyl-1H-pyridin-2-on-3-yl)pyrimidine,
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      2-(1-cyclopentylpiperidin-4-yloxy)-5-{2-(pyrrolidin-1-ylcarbonyl)pyridin-5-yl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-cyano-5-thenyl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(morpholin-3-on-4-yl)phenyl}pyrimidin,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(2-oxazolidin-3-yl)phenyl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-methylpyridin-5-yl)pyrimidin,
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      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-fluoropyridin-5-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(1H-pyridin-2-on-1-yl)phenyl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(methylsulfonyl)phenyl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-acetylphenyl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-trifluoromethoxyphenyl)pyrimidine,
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2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(2-hydroxy-2-propyl)phenyl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-ethylpyridin-5-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyrazine,
      5-(1-cyclopentylpiperidin-4-yloxy)-2-(4-cyanophenyl)pyridine,
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      2-(1-cyclopentylpiperidin-4-yloxy)-5-(4-cyanophenyl)pyridazine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(piperidin-1-ylcarbonyl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(piperidin-1-ylmethyl)phenyl}pyrimidine,
      2-(1-ccylopentylpiperidin-4-yloxy)-5-(4-phenylpiperazin-1-ylmethyl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-cyanopyrimidin-5-yl)pyrimidine,
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      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1H-pyridin-2-on-4-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1-methyl-1H-pyridin-2-on-4-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-difluoromethoxypyridin-4-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1-difluoromethyl-1H-pyridin-2-on-4-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{4-(N-methyl-N-methoxycarbonylamino)phenyl}pyrimidine,
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      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1-ethyl-1H-pyridin-2-on-4-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1-methyl-1H-pyridin-2-on-5-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1-ethyl-1H-pyridin-2-on-5-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-methylimidazo[1,2,a]pyridin-6-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(2-carbamoylpyridin-5-yl)pyrimidine,
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      2-(1-cyclopentylpiperidin-4-yloxy)-5-{1-(2,2-difluoroethyl)-1H-pyridin-2-on-4-yl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1,2,4-triazolo[4,3,a]pyridin-7-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1,2,4-triazolo[4,3,a]pyridin-6-yl)pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1-methyl-1H-pyridin-2-on-5-yl)pyridine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-(1-difluoromethyl-1H-pyridin-2-on-5-yl)pyridin,
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      2-(1-cyclobutylpiperidin-4-yloxy)-5-(1-difluoromethyl-1H-pyridin-2-on-4-yl)pyrimidine,
      2-(1-cyclobutylpiperidin-4-yloxy)-5-(1-methyl-1H-pyridin-2-on-5-yl)pyridine,
      2-(1-cyclobutylpiperidin-4-yloxy)-5-{1-(2-fluoroethyl)-1H-pyridin-2-on-4-yl}pyrimidine,
      2-(1-cyclopentylpiperidin-4-yloxy)-5-{1-(2-fluoroethyl)-1H-pyridin-2-on-4-yl}pyrimidine,
      2-(1-isopropylpiperidin-4-yloxy)-5-(1-methyl-1H-pyridin-2-on-5-yl)pyridine,
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      2-(1-cyclobutylpiperidin-4-yloxy)-5-{1-(2-fluoroethyl)-1H-pyridin-2-on-5-yl}pyridine,
      2-(1-cyclobutylpiperidin-4-yloxy)-5-{1-(2-fluoroethoxy-1H-pyridin-2-on-5-yl}pyridine,
      2-(1-cyclobutylpiperidin-4-yloxy)-5-{1-(2-fluoroethyl)-1H-pyridin-2-on-4-yl}pyridine,
      2-(1-cyclobutylpiperidin-4-yloxy)-5-(3-chloro-1-methyl-1H-pyridin-2-on-5-yl)pyridine,
      2-(1-cyclobutylpiperidin-4-yloxy)-5-(1-ethyl-1H-pyridin-2-on-5-yl)pyridine,
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- 13. A histamine-H3 receptor antagonist or inverse-agonist containing, as the active ingredient thereof, a compound of any of claims 1 to 12.
- 14. A histamine-H3 receptor antagonist containing, as the active ingredient thereof, a compound of any of claims 1 to 12.

2-(1-isopropylpiperidin-4-yloxy)-5-(1-ethyl-1H-pyridin-2-on-5-yl)pyridine.

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- 15. A histamine-H3 receptor inverse-agonist containing, as the active ingredient thereof, a compound of any of claims 1 to 12.
- 16. A preventive or remedy comprising, as the active ingredient thereof, a compound of any of claims 1 to 7, which is for metabolic system diseases such as obesity, diabetes, hormone secretion disorder, hyperlipemia, gout, and fatty liver; circulatory system diseases, for example, stenocardia, acute/congestive cardiac insufficiency, cardiac infarction, coronary arteriosclerosis, hypertension, nephropathy, sleep disorder and various diseases accompanied by sleep disorder such as idiopathic hypersomnia, repetitive hypersomnia, true hypersomnia, narcolepsy, sleep periodic acromotion disorder, sleep apnea syndrome, circadian rhythm disorder, chronic fatigue syndrome, REM sleep disorder, senile insomnia, night worker sleep insanitation, idiopathic insomnia, repetitive insomnia, true insomnia, and electrolyte metabolism disorder; and central and peripheral nervous system diseases such as bulimia, emotional disorder, melancholia, anxiety, epilepsy, delirium, dementia, shinzophrenia, attention deficit/hyperactivity disorder, memory disorder, Alzheimer's disease, Parkinson's disease, sleep disorder, recognition disorder, motion disorder, paresthesia, dysosmia, epilepsy, morphine resistance, narcotic dependency, and alcoholic dependency.
- 17. A method for producing a compound of a general formula (I-2) or a compound of a general formula (I-3) or a salt thereof, which comprises reacting a compound of a general formula (VI):

$$X_1$$
 X_2 X_3 X_2 (VI)

[wherein X^1 , X^2 and X^3 each independently represent N or CH (provided that all of X^1 , X^2 and X^3 are not CH at the same time); \dot{W}^1 represents a group of the following formula (II-1):

(wherein m indicates an integer of from 0 to 3; R¹ represents a linear or branched lower alkyl group (excepting a methyl group), a cycloalkyl group having from 3 to 9 carbon atoms, an aralkyl group or a heterocyclic group having from 3 to 8 carbon atoms (the hetero ring has 1 or 2 nitrogen atoms or oxygen atoms), which may be substituted with a group selected from a class consisting of a cyano group, a hydroxyl group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxyl group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylaminocarbonyloxy group, a cycloalkyliminocarbonyl group and a trifluoromethyl group, or represents a group corresponding to R but having a protective group suitably introduced into the substituent which R has), or represents a group or a formula (III):

(wherein m_1 indicates an integer of from 0 to 3; n indicates an integer of from 0 to 2); and L_3 represents a leaving group], with a compound of a general formula (XI):

Met—
$$Y^{1p}$$
 (XI)

5 [wherein Met represents a general organic metal atom; Y^{1p} represents a group of a formula (IV):

$$--(O)_{j} L_{1} - \left(\begin{matrix} O \\ \parallel \\ C \end{matrix}\right)_{k} \left(M\right)_{1} Q_{1} \quad (IV)$$

(wherein j, k and l each independently indicate 0 or 1; L_1 represents a lower alkylene group having from 1 to 4 carbon atoms, or a single bond; M represents an oxygen atom or a group of a formula (V):

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(wherein R⁰ represents a lower alkyl group having from 1 to 4 carbon atoms); Q₁ represents a linear or branched lower alkyl group, a cycloalkyl group having from 3 to 9 carbon atoms, a phenyl group, a 5-membered or 6-membered heteroaryl group, a heterocyclic group having from 3 to 8 carbon atoms (the hetero ring may have 1 or 2 nitrogen atoms or oxygen atoms), a naphthyl group or a condensed-cyclic heteroaryl group, which may be substituted with a group selected from a class consisting of a cyano group, a hydroxy group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a lower alkylsulfonyl group, a cyclo-lower alkylsulfonyl group, a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyl group, a cycloalkyliminocarbamoyl group, a lactam ring, a trifluoromethyl group, a mono-lower alkylamino group, a di-lower alkylamino group, an alkanoyl group, an alkoxycarbonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), an alkanoylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), or represents a group corresponding to Q₁ but having a protective group optionally introduced into the substituent which Q1 has, or represents a group of a formula (V-1):

$$--$$
N $\begin{pmatrix} R^1 \\ V-1 \end{pmatrix}$

(wherein R¹ and R² are the same or different, each representing a lower alkyl group or a mono- or di-lower alkylcarbamoyl group, or R¹ and R² together form, along with the adjacent nitrogen atom, a 3- to 9-membered lactam ring, a heterocyclic group having from 3 to 8 carbon atoms (the group has 1 or 2

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nitrogen atoms or oxygen atoms in the ring thereof), a 5-membered heteroaryl group or a condensed-cyclic heteroaryl group), or represents a group corresponding to -Y but having a protective group optionally introduced into the substituent which -Y has), in the presence of a catalyst, to give a compound of a general formula (VIII):

$$\begin{array}{c|c} X_1 & O & (CH_2)m \\ Y^{1p} & X_3 & X_2 & N \\ & & R^1 \end{array}$$
 (VIII)

[wherein X^1 , X^2 , X^3 , m, X^1 and Y^{1p} have the same meanings as above], or a compound of a general formula (IX):

[wherein X^1 , X^2 , X^3 , m_1 , n and Y^{1p} have the same meanings as above], and optionally removing the protective group to give a compound of a general formula (I-2):

$$\begin{array}{c|c}
X_1 & O & (CH_2)m \\
Y & X_3 & N \\
R & (I-2)
\end{array}$$

[wherein X^1 , X^2 , X^3 , m, R and Y have the same meanings as above], or a compound of a general formula (I-3):

$$\begin{array}{c|c}
X_1 & O & (CH_2)m_1 \\
Y & X_3 & X_2 & N & (I-3)
\end{array}$$

[wherein X^1 , X^2 , X^3 , m_1 , n and Y have the same meanings as above], or a salt thereof.

18. A method for producing a compound of a general formula (I-2) or a compound of a general formula (I-3) or a salt thereof, which comprises reacting a compound of a general formula (X):

$$X_1 O W_1$$

$$X_2 X_2 (X)$$

[wherein X^1 , X^2 and X^3 each independently represent N or CH (provided that all of X^1 , X^2 and X^3 are not CH at the same time); W^1 represents a group of the following formula (II-1):

(wherein m indicates an integer of from 0 to 3; R¹ represents a linear or branched lower alkyl group (excepting a methyl group), a cycloalkyl group having from 3 to 9 carbon atoms, an aralkyl group or a heterocyclic group having from 3 to 8 carbon atoms (the hetero ring has 1 or 2 nitrogen atoms or oxygen atoms), which may be substituted with a group selected from a class consisting of a cyano group, a

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hydroxyl group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxyl group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylaminocarbonyl group, a di-lower alkylcarbamoyl group, a carbamoyl group, a cycloalkyliminocarbonyl group and a trifluoromethyl group), or represents a group corresponding to R but having a protective group suitably introduced into the substituent which R has, or represents a group or a formula (III):

(wherein m₁ indicates an integer of from 0 to 3; n indicates an integer of from 0 to 2); and Met represents a general organic metal atom], with a compound of a general formula (XI):

$$L_2 \longrightarrow Y^{1p}$$
 (XI)

[wherein L₂ represents a leaving group; Y^{1p} represents a group of a formula (IV):

$$--(O)_{j} L_{1} - \left(\begin{matrix} O \\ I \\ C \end{matrix} \right)_{k} \left(M \right)_{1} Q_{1} \quad (IV)$$

(wherein j, k and l each independently indicate 0 or 1; L_1 represents a lower alkylene group having from 1 to 4 carbon atoms, or a single bond; M represents an oxygen atom or a group of a formula (V):

(wherein R⁰ represents a lower alkyl group having from 1 to 4 carbon atoms); Q₁ represents a linear or branched lower alkyl group, a cycloalkyl group having from 3 to 9 carbon atoms, a phenyl group, a 5-membered or 6-membered heteroaryl group, a heterocyclic group having from 3 to 8 carbon atoms (the hetero ring has 1 or 2 nitrogen atoms or oxygen atoms), a naphthyl group or a condensed-cyclic heteroaryl group, which may be substituted with a group selected from a class consisting of a cyano group, a hydroxy group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a lower alkylsulfonyl group, a cyclo-lower alkylsulfonyl group, a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a carbamoyl group, a cycloalkyliminocarbamoyl group, a lactam ring, a trifluoromethyl group, a mono-lower alkylamino group, a di-lower alkylamino group, an alkanoyl group), an alkanoylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group

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may be substituted with a lower alkyl group), or represents a group corresponding to Q_1 but having a protective group optionally introduced into the substituent which Q_1 has, or represents a group of a formula (V-1):

$$-N = \begin{pmatrix} R^1 \\ V-1 \end{pmatrix}$$

(wherein R¹ and R² are the same or different, each representing a lower alkyl group or a mono- or di-lower alkylcarbamoyl group, or R¹ and R² together form, along with the adjacent nitrogen atom, a 3- to 9-membered lactam ring, a heterocyclic group having from 3 to 8 carbon atoms (the group has 1 or 2 nitrogen atoms or oxygen atoms in the ring thereof), a 5-membered heteroaryl group or a condensed-cyclic heteroaryl group), or represents a group corresponding to -Y but having a protective group optionally introduced into the substituent which -Y has], in the presence of a catalyst, to give a compound of a general formula (XII):

$$Y^{1p}$$
 X^3 X^2 X^2 X^2 X^3 X^2 X^2 X^3 X^2 X^3 X^2 X^3 X^4 X^4 X^4 X^5 X^5

(XII)

(wherein X^1 , X^2 , X^3 , m, X^1 and Y^{1p} have the same meanings as above), or a compound of a general formula (XIII):

$$X^{1}$$
 O $(CH_{2})_{m1}$ $(CH_{2})_{n}$

(XIII)

(wherein X^1 , X^2 , X^3 , m1, n and Y^{1p} have the same meanings as above), and optionally removing the protective group to give a compound of a general formula (I-2):

(1-2)

(wherein X^1 , X^2 , X^3 , m, R and Y have the same meanings as above), or a compound of a general formula (I-3):

(wherein X¹, X², X³, m1, n and Y have the same meanings as above), or a salt thereof.

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19. A method for producing a compound (I) of the invention, which comprises reacting a compound of a general formula (XIV):

$$\begin{array}{ccc}
X_1 & L_2 \\
Y_1 & X_3 & X_2
\end{array}$$
(XIV)

[wherein X^1 , X^2 and X^3 each independently represent N or CH (provided that all of X^1 , X^2 and X^3 are not CH at the same time); Y^{1p} represents a group of a formula (IV):

$$--(O)_{j} L_{1} - \left(\begin{matrix} O \\ I \\ C \end{matrix}\right)_{k} \left(M\right)_{1} Q_{1} \quad (IV)$$

(wherein j, k and l each independently indicate 0 or 1; L_1 represents a lower alkylene group having from 1 to 4 carbon atoms, or a single bond; M represents an oxygen atom or a group of a formula (V):

(wherein R⁰ represents a lower alkyl group having from 1 to 4 carbon atoms); Q₁ represents a linear or branched lower alkyl group, a cycloalkyl group having from 3 to 9 carbon atoms, a phenyl group, a 5-membered or 6-membered heteroaryl group, a heterocyclic group having from 3 to 8 carbon atoms (the hetero ring has 1 or 2 nitrogen atoms or oxygen atoms), a naphthyl group or a condensed-cyclic heteroaryl group, which may be substituted with a group selected from a class consisting of a cyano group, a hydroxy group, a lower alkyl group (the lower alkyl group may be substituted with a hydroxy group, a halogen atom or an amino group), a lower alkoxy group (the lower alkoxy group may be substituted with a halogen atom), a lower alkylsulfonyl group, a cyclo-lower alkylsulfonyl group, a halogen atom, a mono-lower alkylaminocarbonyloxy group, a di-lower alkylaminocarbonyloxy group, a mono-lower alkylcarbamoyl group, a di-lower alkylcarbamoyl group, a carbamoyl group, a cycloalkyliminocarbamoyl group, a lactam ring, a trifluoromethyl group, a mono-lower alkylamino group, a di-lower alkylamino group, an alkanoyl group, an alkoxycarbonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), an alkanoylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group) and an alkylsulfonylamino group (the nitrogen atom in the group may be substituted with a lower alkyl group), or represents a group corresponding to Q_1 but having a protective group optionally introduced into the substituent which Q1 has, or represents a group of a formula (V-1):

(wherein R¹ and R² are the same or different, each representing a lower alkyl group or a lower alkylcarbamoyl group having from 1 to 6 carbon atoms, or R¹ and R² together form, along with the adjacent nitrogen atom, a 3- to 9-membered lactam ring, a heterocyclic group having from 3 to 8 carbon

atoms (the group has 1 or 2 nitrogen atoms or oxygen atoms in the ring thereof), a 5-membered heteroaryl group or a condensed-cyclic heteroaryl group), or represents a group corresponding to -Y but having a protective group optionally introduced into the substituent which -Y has; L_2 represents a leaving group], with a compound of a general formula (XV):

$$W^1$$
—OH (XV)

[wherein W¹ represents a group of the following formula (II-p):

(wherein R^{11} is R^{1} or an amino-protective group; and the other symbols have the same meanings as above), or represents a group of a formula (III):

10 (III)

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(wherein the symbols have the same meanings as above)] or its salt to give a compound of a general formula (XVI):

$$X^1$$
 O $(CH_2)_m$ N R^1

(XVI)

[wherein X^1 , X^2 , X^3 , Y^{1p} , m and R^{11} have the same meanings as above], and when the compound and R^{11} have a protective group for the amino group therein, then removing the amino-protective group, and thereafter further reacting it with a precursor aldehyde or ketone corresponding to R^1 or with a compound of a general formula (XVII):

$$R^1$$
— L_2 (XVII)

(wherein the symbols have the same meanings as above), and optionally removing the protective group to give a compound (I) of the invention:

$$\begin{array}{c|c}
X^{1} & O & (CH_{2})_{m} \\
Y & X^{3} & X^{2} & R
\end{array}$$
(I)

(wherein the symbols have the same meanings as above].

ABSTRACT

Provided are compounds of a formula (I) and their pharmaceutically-acceptable salts:

$$X^1$$
 X^2 X^3 X^2

(I)

wherein X1, X2 and X3 each independently represent N or CH; W represents the following formula (II):

(II)

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or the following formula (III):

Y represents a group of a formula (IV):

$$\frac{--(O)_{j}}{L_{1}} L_{1} \stackrel{O}{\longleftarrow} C \stackrel{M}{\longrightarrow} M \stackrel{Q_{1}}{\longrightarrow} Q_{1}$$

$$(IV)$$

The compounds have a histamine-H3 receptor antagonistic or inverse-agonistic activity and are useful for remedy and/or prevention of obesity, diabetes, hormone secretion disorders, sleep disorders, etc.